Product Data Sheet

Product Name: Fialuridine
Cat. No.: GC11943

Chemical Properties

Cas. No.	69123-98-4		
Chemical Name	1-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-5-iodo-2,4(1H,3H)-pyrimidinedione		
SMILES	OC[C@@H]1[C@@H](O)[C@H](F)[C@H](N2C=C(I)C(NC2=O)=O)O1		
Formula	$C_9H_{10}FIN_2O_5$	M.Wt	372.1
Solubility	≤15mg/ml in DMSO;20mg/ml in dimethyl formamide	Storage	Store at -20°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Fialuridine		

Background

Ki: 0.14 and 0.95 μM for herpes simplex virus types 1 and 2, respectively

Fialuridine is a nucleoside analog with antiviral activity.

Nucleoside analogues mimic physiological nucleosides in terms of uptake and metabolism and are incorporated into newly synthesized DNA resulting in synthesis inhibition and chain termination.

In vitro: Previous in-vitro data showed that 1-(2-deoxy-2-fluoro-beta-D-arabinofuranosyl)-5-ethyluracil (FEAU) had activity against herpes simplex virus types 1 and 2 comparable to that of 1-(2-deoxy-2-fluoro-beta-D-arabinofuranosyl)-5-methyluracil (FMAU), fialuridine (FIAU), and acyclovir (ACV). The cellular toxicity of FEAU was found to be much lower than that of FIAU. Biochemical experiments indicated that FEAU had similar affinity toward thymidine kinases encoded by HSV 1 and 2 and a much lower affinity for cellular

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thymidine kinase than thymidine [1].

In vivo: The in-vivo antiviral efficiency of FEAU was compared with that of FIAU and ACV by using the herpes encephalitis mode. Moreover, ACV and FEAU could significantly increase the number of survivors at doses of 50 and 100 mg/kg per day, respectively, and FIAU showed significant activity at 25 mg/kg per day in the animal model [1].

Clinical trial: In patients with chronic hepatitis B, fialuridine treatment induced a severe toxic reaction demonstrated by hepatic failure, lactic acidosis, pancreatitis, neuropathy, as well as myopathy. Such toxic reaction was probably caused by widespread mitochondrial damage and [2].

References:
[1] Mansuri, M. M.,Ghazzouli, I.,Chen, M.S., et al. 1-(2-Deoxy-2-fluoro-β-D-arabinofuranosyl)-5-ethyluracil. A highly selective antiherpes simplex agent. Journal of Medicinal Chemistry 30(5), 867-871 (1987).
[2] McKenzie R et al. Hepatic failure and lactic acidosis due to fialuridine (FIAU), an investigational nucleoside analogue for chronic hepatitis B. N Engl J Med. 1995 Oct 26;333(17):1099-105.